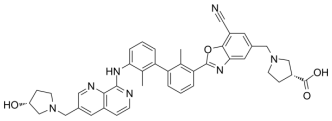
INCB086550

Cat. No.:	HY-134884
CAS No.:	2230911-59-6
Molecular Formula:	$C_{41}H_{39}N_7O_4$
Molecular Weight:	693.79
Target:	PD-1/PD-L1
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 83.33 mg/mL (120.11 mM; ultrasonic and adjust pH to 3 with HCl)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4414 mL	7.2068 mL	14.4136 mL
	5 mM	0.2883 mL	1.4414 mL	2.8827 mL
	10 mM	0.1441 mL	0.7207 mL	1.4414 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

INCB086550 is a potent, oral, small-molecule PD-L1 inhibitor with IC_{50s} value of 3.1, 4.9 and 1.9 nM for human, cynomolgus, and rat, respectively. INCB086550 promotes the dimerization of cell-surface PD-L1 and induces PD-L1 entry into Golgi vesicles then traffick to the nucleus. INCB086550 can be used for multiple cancers research[1].

In Vitro

INCB086550 (0.1-10000 nM, 20 h) has no overt toxicity to pleural effusion fluids cells[1].
INCB086550 (1 μmol/L, 24 h) induces the dimerization of cell-surface PD-L1 and resulting in internalization[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay [1]

Cell Line:	Pleural effusion fluids cells
Concentration:	0.1-10000 nM
Incubation Time:	20 h
Result:	Had no overt toxicity to pleural effusion fluids cells.

Immunofluorescence [1]

Cell Line:	CHO PD-L1 cells
Concentration:	1 μmol/L
Incubation Time:	24 h
Result:	Decreased overall PD-L1 in CHO PD-L1 cells. Demonstrated rapid internalization of PD-L1 that appeared maximal about 4 hours.

In Vivo

INCB086550 (15, 200 mg/kg for Oral gavage, once or twice) inhibits greater than 90% of unoccupied cell surface PD-L1 in MDA-MB-231 mice model[1].

INCB086550 (2, 20, or 200 mg/kg for Oral gavage, b.i.d) inhibits tumor growth in MC38 huPD-L1 mice model[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	mice bearing MDA-MB-231 xenografts[1]
Dosage:	15, 200 mg/kg
Administration:	Oral gavage (p.o.)
Result:	Reduced unoccupied cell-surface PD-L1 on MDA-MB-231 tumors at 24 hours.

Animal Model:	C57BL/6 and NSG mice bearing established MC38-huPD-L1 tumors[1]
Dosage:	2, 20, or 200 mg/kg
Administration:	Oral gavage (p.o.)
Result:	Induced a dose-dependent decrease of PD-L1 available to bind to PD-1 using antibody clone MIH1. Reduced occupied cell-surface PD-L1 > 90% at the 200 mg/kg dose.

REFERENCES

[1]. Koblish HK, et al. Characterization of INCB086550: A Potent and Novel Small-Molecule PD-L1 Inhibitor. Cancer Discov. 2022 Jun 2;12(6):1482-1499.

[2]. WU LIANGXING, et al. BENZOOXAZOLE DERIVATIVES AS IMMUNOMODULATORS. WO2018119266A1.

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